FIGURE 1

$$\begin{array}{c}
\downarrow \\
Br \\
CO_2H \\
\downarrow \\
CO_2CH_3
\end{array}$$

$$\begin{array}{c}
\downarrow \\
Br \\
CO_2CH_3
\end{array}$$

- (a) CH₃I, DBU, acetone; (b) DIBAL, toluene -78 °C to rt; (c) PDC, MgSO₄, 4Å molecular sieves, CH₂CI₂ 74% from 1; (d) Ph₃PCHCO₂CH₃, toluene 95%; (e) (Ph₃P)₃RhCl, H₂, EtOH 80%; (f) DIBAL, toluene -78 °C to rt 99%;
- (g) Dimethylthexylsilyl chloride, DMAP, Et₃N, CH₂Cl₂ 83%.

FIGURE 2

(a) Mg, THF, 65 °C; (b) EtMgBr, 0 °C to rt 69%; (c) Ac $_2$ O, pyridine 77%; (d) (Im) $_2$ S, CICH $_2$ CH $_2$ CI, 60 °C 94%; (e) n-Bu $_3$ SnH, AlBN, toluene, 110 °C 84%; (f) CrO $_3$, H $_2$ SO $_4$, acetone; (g) MeOH, AcCl 88% for 2 steps; (h) CrO $_3$, H $_2$ SO $_4$, acetone.

15

S/N: Pending
Inventor: Krauss, et al., Sheet 2 of 4
Docket No. 17373concipconcip (AP)

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16

FIGURE 3

(a) L-serine benzyl ester hydrochloride, DCC, HOBt, $\rm Et_3N$, THF 80% for 2 steps; (b) PPh₃, CCl₄, $\dot{\it F}$ Pr₂NEt, CH₃CN 69%; (c) BrCCl₃, DBU, CH₂Cl₂0 °C 75%; (d) H₂, Pd(O EtOAc 100%; (e) i. (COCl)₂, cat. DMF, CH₂Cl₂; ii. 4-cyclohexylbutylammonium chloride, Et₃N, CH₂Cl₂ 78%; (f) NaOH, aqueous THF, 95%.

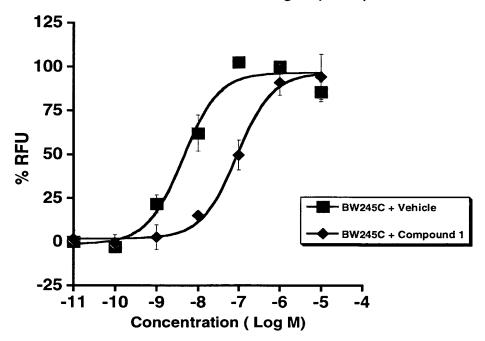
S/N: Pending

Inventor: Krauss, et al., Sheet 3 of 4 Docket No. 17373concipconcip (AP)

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FIGURE 4

Effect of Compound 1 on BW245C induced calcium signal (FLIPR)



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